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\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS	3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS	4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	5	AUG 24	CA/CAPLUS enhanced with legal status information for U.S. patents
NEWS	6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS	7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS	8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS	9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS	10	NOV 23	Addition of SCAN format to selected STN databases
NEWS	11	NOV 23	Annual Reload of IFI Databases
NEWS	12	DEC 01	FRFULL Content and Search Enhancements
NEWS	13	DEC 01	DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS	14	DEC 02	Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS	15	DEC 02	PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS	16	DEC 02	USGENE: Enhanced coverage of bibliographic and sequence information
NEWS	17	DEC 21	New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/CAPLUS
NEWS	18	JAN 12	Match STN Content and Features to Your Information Needs, Quickly and Conveniently
NEWS	19	JAN 25	Annual Reload of MEDLINE database

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,  
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

\*GEOREF - Geological Reference File 1785-present

\* The files listed above are temporarily unavailable.

FILE 'HOME' ENTERED AT 10:27:07 ON 25 JAN 2010

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 10:27:19 ON 25 JAN 2010

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STRUCTURE FILE UPDATES: 24 JAN 2010 HIGHEST RN 1203430-88-9

DICTIONARY FILE UPDATES: 24 JAN 2010 HIGHEST RN 1203430-88-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

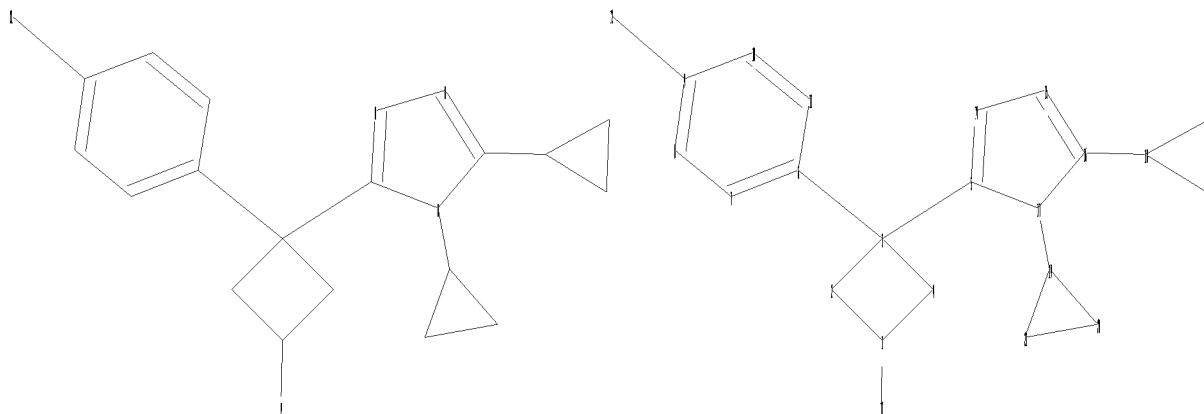
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10587110\_01252010\_1.str



chain nodes :

12 13

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 14 15 16 17 18 19 20 21 22 23

chain bonds :

1-13 3-5 3-6 9-12 16-18 17-19

ring bonds :

1-2 1-4 2-3 3-4 5-14 5-17 6-7 6-11 7-8 8-9 9-10 10-11 14-15 15-16

16-17 18-22 18-23 19-20 19-21 20-21 22-23

exact/norm bonds :

1-2 1-4 2-3 3-4 5-14 5-17 14-15 15-16 16-17 17-19 18-22 18-23 19-20

19-21 20-21 22-23

exact bonds :

1-13 3-5 3-6 9-12 16-18

normalized bonds :

6-7 6-11 7-8 8-9 9-10 10-11

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

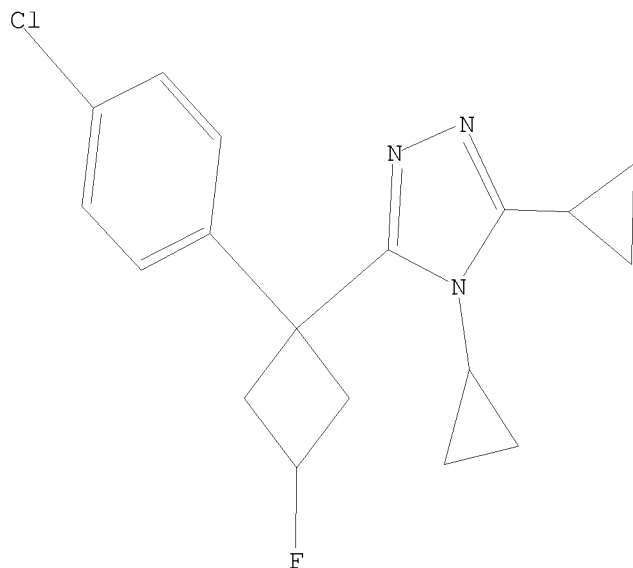
20:Atom 21:Atom 22:Atom 23:Atom

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam

SAMPLE SEARCH INITIATED 10:27:49 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 2 TO 124

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 10:28:01 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 71 TO ITERATE

100.0% PROCESSED 71 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

L3 8 SEA SSS FUL L1

=> s l8 and caplus/lc

L8 NOT FOUND

The L-number entered could not be found. To see the definition of L-numbers, enter DISPLAY HISTORY at an arrow prompt (=>).

=> s l3 and caplus/lc

69979096 CAPLUS/LC

L4 8 L3 AND CAPLUS/LC

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

197.53

197.75

FILE 'CAPLUS' ENTERED AT 10:28:30 ON 25 JAN 2010  
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FILE COVERS 1907 - 25 Jan 2010 VOL 152 ISS 5  
FILE LAST UPDATED: 24 Jan 2010 (20100124/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

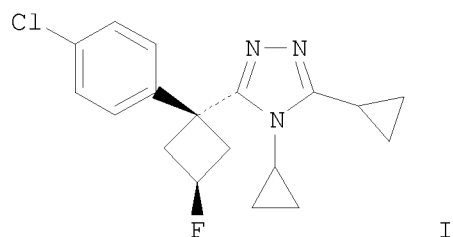
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

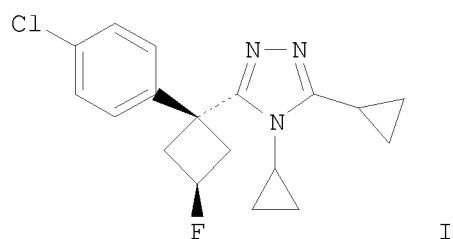
L5 6 L3

=> d l5 ibib gi abs hitstr 1-6

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2008:668239 CAPLUS  
DOCUMENT NUMBER: 149:200844  
TITLE: Phenylcyclobutyl triazoles as selective inhibitors of 11 $\beta$ -hydroxysteroid dehydrogenase type I  
AUTHOR(S): Zhu, Yuping; Olson, Steven H.; Graham, Donald; Patel, Gool; Hermanowski-Vosatka, Anne; Mundt, Steven; Shah, Kashmira; Springer, Marty; Thieringer, Rolf; Wright, Samuel; Xiao, Jianying; Zokian, Hratch; Dragovic, Jasminka; Balkovec, James M.  
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(11), 3412-3416  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 149:200844  
GI



GI



AB 3-(Phenylcyclobutyl)-1,2,4-triazoles were identified as selective inhibitors of 11 $\beta$ -hydroxysteroid dehydrogenase type 1 (11 $\beta$ -HSD1). These were active both in vitro and in an in vivo mouse pharmacodynamic (PD) model. Fluorine substitution of the cyclobutane ring, e.g., I, improved the pharmacokinetic profile significantly. The synthesis and structure-activity relationships are presented.

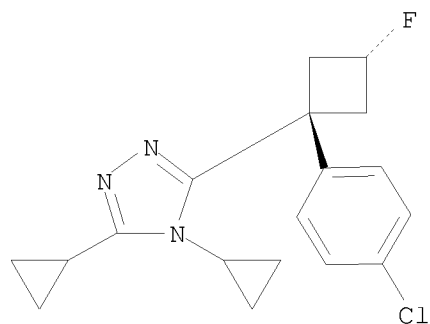
IT 1041867-35-9 1041867-36-0

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(preparation of triazole derivs. via cyclocondensation of acyl hydrazines with imine or amide, and their type I 11 $\beta$ -hydroxysteroid dehydrogenase inhibitory activity and SAR)

RN 1041867-35-9 CAPLUS

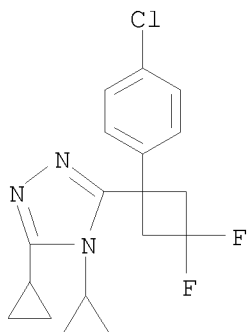
CN 4H-1,2,4-Triazole, 3-[cis-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)

Relative stereochemistry.



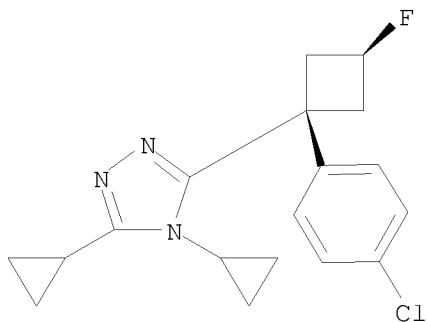
RN 1041867-36-0 CAPLUS

CN 4H-1,2,4-Triazole, 3-[1-(4-chlorophenyl)-3,3-difluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)



IT 633317-53-0P  
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of triazole derivs. via cyclocondensation of acyl hydrazines with imine or amide, and their type I 11 $\beta$ -hydroxysteroid dehydrogenase inhibitory activity and SAR)  
 RN 633317-53-0 CAPLUS  
 CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)  
 REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:383553 CAPLUS

DOCUMENT NUMBER: 146:401979

TITLE: A process for producing 1,2,4-triazoles via heterocyclization of cyclobutyl hydrazides with amides in the presence of POCl<sub>3</sub>

INVENTOR(S): Zhao, Matthew Mangzhu

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 20pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007038452                    A1            20070405            WO 2006-US37323                    20060922

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,  
 KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,  
 MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS,  
 RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:                    US 2005-721438P            P    20050928  
 OTHER SOURCE(S):                    CASREACT 146:401979; MARPAT 146:401979  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

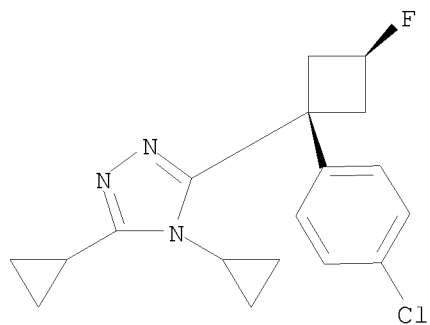
AB    The invention relates to a process for production of 1,2,4-triazoles I. I are inhibitors of the 11-beta-HSD1 enzyme, useful for the treatment of type 2 diabetes, metabolic syndrome, obesity, hypertension, and related conditions. In compds. I, m and n are 0 to 3; R1 is OH, halo, (un)substituted alk(yl|oxy) or aryl; R2 is halo, (un)substituted C1-14 alkyl, C2-10 alkenyl, or (S|O)C1-6 alkyl; R3 is (un)substituted alk(en)yl, Ph, pyridyl, and cycloalkyl etc.; R4 is (un)substituted alk(yl|enyl), (hetero)aryl, and (hetero)cycl(yl) etc. For instance,  $\alpha$ -cyclization of 4-chlorophenylacetic acid with epichlorohydrin followed by esterification, fluorination, and substitution with hydrazine monohydrate produced the hydrazide intermediate II. Amidation of cyclopropylamine with cyclopropylcarbonyl chloride produced the amide intermediate III. The invention compound IV was then prepared by heterocyclization of II with III using POCl3 as the activating agent.

IT    633317-53-0P            862158-94-9P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
       (drug candidate; preparation of triazole derivs. as inhibitors of 11-beta-HSD1 enzyme)

RN    633317-53-0    CAPLUS

CN    4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)

Relative stereochemistry.

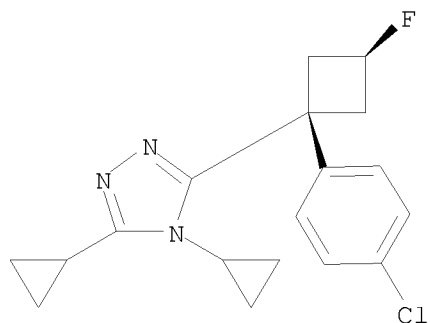


RN 862158-94-9 CAPLUS  
 CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl-, sulfate (1:1) (CA INDEX NAME)

CM 1

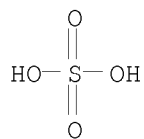
CRN 633317-53-0  
 CMF C18 H19 Cl F N3

Relative stereochemistry.



CM 2

CRN 7664-93-9  
 CMF H2 O4 S



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)  
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:732626 CAPLUS  
 DOCUMENT NUMBER: 143:216655  
 TITLE: Crystalline forms of an inhibitor of  
 11 $\beta$ -hydroxysteroid dehydrogenase type 1

INVENTOR(S): Berezniński, Yuri; Huffman, Mark A.; Lynch, Joseph E.;  
Zhao, Matthew  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
SOURCE: PCT Int. Appl., 37 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073200	A1	20050811	WO 2005-US1928	20050121
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005207925	A1	20050811	AU 2005-207925	20050121
AU 2005207925	B2	20080904		
CA 2553345	A1	20050811	CA 2005-2553345	20050121
EP 1711477	A1	20061018	EP 2005-711768	20050121
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS			
CN 1910161	A	20070207	CN 2005-80003124	20050121
JP 2007519726	T	20070719	JP 2006-551299	20050121
IN 2006DN04108	A	20070622	IN 2006-DN4108	20060717
US 20090186928	A1	20090723	US 2006-587110	20060724
PRIORITY APPLN. INFO.:			US 2004-539206P	P 20040126
			WO 2005-US1928	W 20050121

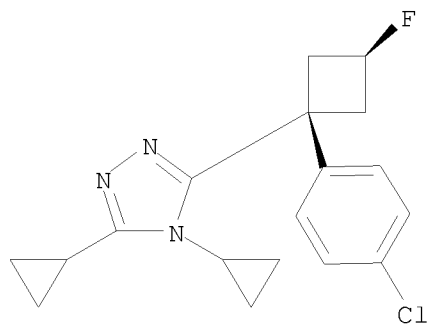
# ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Novel crystalline salts of 3-[1-(4-chlorophenyl)-trans-3-fluorocyclobutyl]-4,5-dicyclopropyl-r-4H-1,2,4-triazole (I) are potent inhibitors of 11 $\beta$ -hydroxysteroid dehydrogenase Type 1 and are useful for the treatment of conditions associated with metabolic syndrome as well as cognitive impairment. The invention also relates to pharmaceutical compns. containing these novel salts, processes to prepare these salts and their

pharmaceutical compns. as well as uses thereof for the treatment of Type 2 diabetes, hyperglycemia, obesity, dyslipidemia, hypertension, and cognitive impairment. Thus, I was prepared in a series of steps and converted to a crystalline anhydrous form.

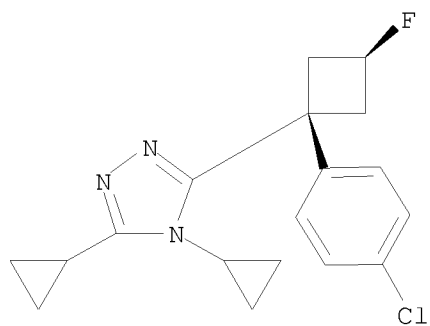
IT 633317-53-0P 862158-90-5P  
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(crystalline forms of inhibitor of hydroxysteroid dehydrogenase type 1)  
RN 633317-53-0 CAPLUS  
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)

Relative stereochemistry.



RN 862158-90-5 CAPLUS  
 CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl-, hydrate (1:1) (CA INDEX NAME)

Relative stereochemistry.



● H<sub>2</sub>O

IT 862158-91-6  
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(crystalline forms of inhibitor of hydroxysteroid dehydrogenase type 1)

RN 862158-91-6 CAPLUS

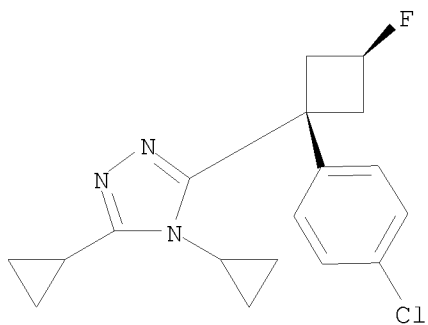
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl-, compd. with methylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 633317-53-0

CMF C18 H19 Cl F N3

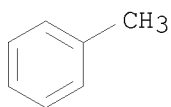
Relative stereochemistry.



CM 2

CRN 108-88-3

CMF C7 H8



IT 862158-94-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(crystalline forms of inhibitor of hydroxysteroid dehydrogenase type 1)

RN 862158-94-9 CAPLUS

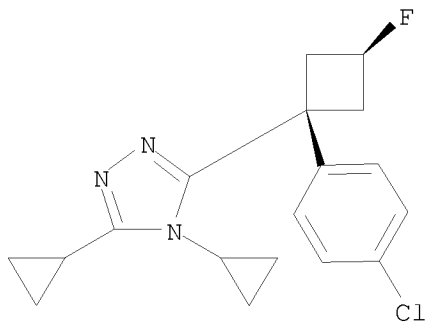
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 633317-53-0

CMF C18 H19 Cl F N3

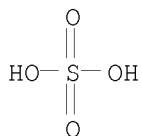
Relative stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(5 CITINGS)  
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2004:1124587 CAPLUS  
DOCUMENT NUMBER: 142:69188  
TITLE: Combination therapy for the treatment of diabetes  
INVENTOR(S): Erondu, Ngozi E.; Fong, Tung M.; MacNeil, Douglas J.;  
Van Der Ploeg, Leonardus H. T.; Kanatani, Akio  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.  
SOURCE: PCT Int. Appl., 109 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110375	A2	20041223	WO 2004-US17291	20040602
WO 2004110375	A3	20050512		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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EP 1635832	A2	20060322	EP 2004-753999	20040602
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US 20070099884	A1	20070503	US 2005-559206	20051202
PRIORITY APPLN. INFO.:			US 2003-476388P	P 20030606
			WO 2004-US17291	W 20040602

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 142:69188

AB The present invention relates to compns. comprising an anti-obesity agent and an anti-diabetic agent useful for the treatment of diabetes, diabetes associated with obesity and diabetes-related disorders. The present invention further relates to methods of treating or preventing obesity, and obesity-related disorders, in a subject in need thereof by administering a composition of the present invention. The present invention further provides for pharmaceutical compns., medicaments, and kits useful in carrying out these methods.

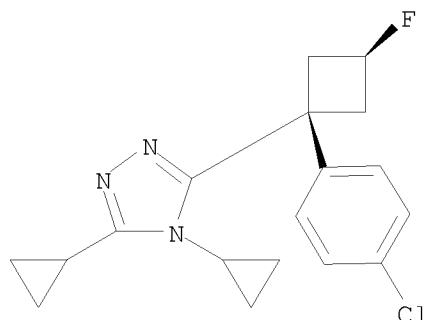
IT 633317-53-0 812693-66-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

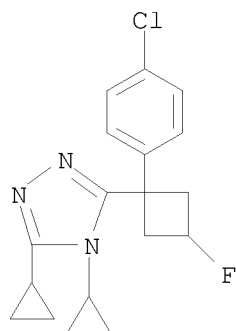
(combination therapy of diabetes and diabetes-related disorders using antiobesity agent and antidiabetic agent and other agents)

RN 633317-53-0 CAPLUS  
CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)

Relative stereochemistry.



RN 812693-66-6 CAPLUS  
CN 4H-1,2,4-Triazole, 3-[1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:991491 CAPLUS

DOCUMENT NUMBER: 140:27832

TITLE: Preparation of triazolyl 11 $\beta$ -hydroxysteroid dehydrogenase-1 inhibitors for the treatment of diabetes, obesity and dyslipidemia

INVENTOR(S): Olson, Steven H.; Balkovec, James M.; Zhu, Yuping

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 144 pp.

CODEN: PIXXD2

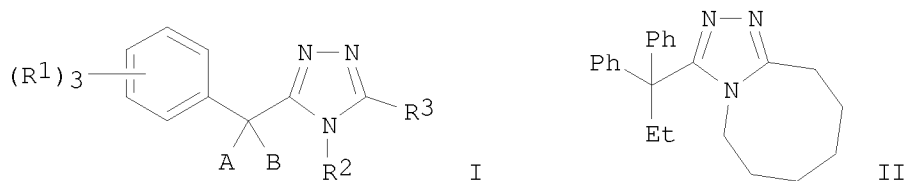
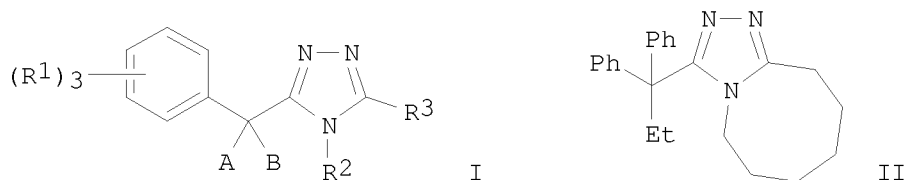
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

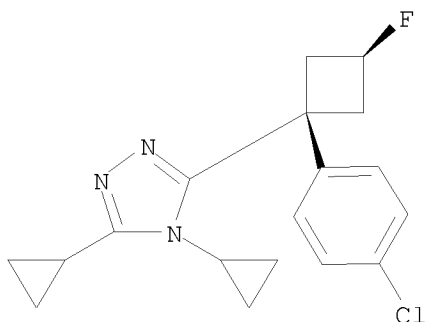
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104208	A1	20031218	WO 2003-US17890	20030606
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

[illegible]

(11 $\beta$ -HSD1). I are useful for the treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dyslipidemia, hyperlipidemia, hypertension, Syndrome X and other symptoms associated with NIDDM.

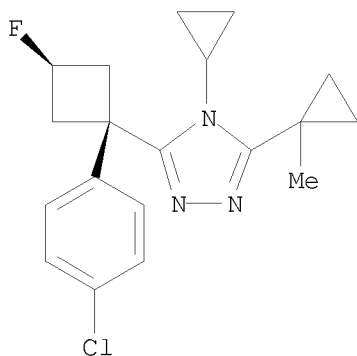
IT 633317-53-0P 633317-54-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of triazolyl 11 $\beta$ -hydroxysteroid dehydrogenase-1 inhibitors for treatment of diabetes, obesity and dyslipidemia)  
 RN 633317-53-0 CAPLUS  
 CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopropyl- (CA INDEX NAME)

Relative stereochemistry.



RN 633317-54-1 CAPLUS  
 CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4-cyclopropyl-5-(1-methylcyclopropyl)- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)  
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:991490 CAPLUS

DOCUMENT NUMBER: 140:27831

TITLE: Preparation of triazolyl 11 $\beta$ -hydroxysteroid dehydrogenase-1 inhibitors for the treatment of diabetes, obesity and dyslipidemia

INVENTOR(S): Olson, Steven H.; Balkovec, James M.; Zhu, Yuping

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

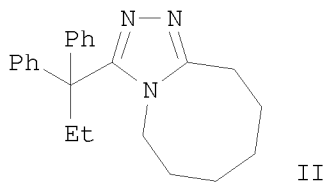
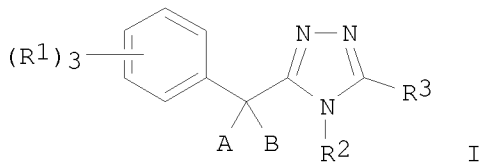
SOURCE: PCT Int. Appl., 91 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104207	A2	20031218	WO 2003-US17898	20030606
WO 2003104207	A3	20040325		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003243420	A1	20031222	AU 2003-243420	20030606
BR 2003011137	A	20050222	BR 2003-11137	20030606
CN 1659151	A	20050824	CN 2003-813392	20030606
CN 1312137	C	20070425		
JP 2005532357	T	20051027	JP 2004-511277	20030606
NZ 536188	A	20061130	NZ 2003-536188	20030606
CN 1990474	A	20070704	CN 2007-10003770	20030606
RU 2319703	C2	20080320	RU 2004-139063	20030606
US 20040048912	A1	20040311	US 2003-457682	20030609
US 6730690	B2	20040504		
US 20040106664	A1	20040603	US 2003-697547	20031030
US 7179802	B2	20070220		
ZA 2004008772	A	20051118	ZA 2004-8772	20041029
MX 2004012381	A	20050419	MX 2004-12381	20041209
IN 2004CN02787	A	20060210	IN 2004-CN2787	20041209
NO 2005000102	A	20050210	NO 2005-102	20050107
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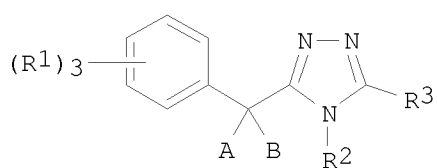
PRIORITY APPLN. INFO.:

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WO	2003-US17898	W	20030606
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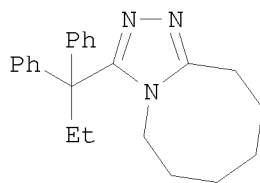
OTHER SOURCE(S) : MARPAT 140:27831  
GI



GI



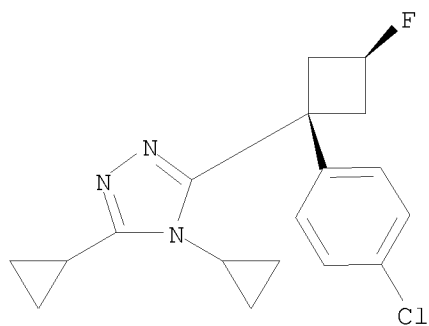
I



II

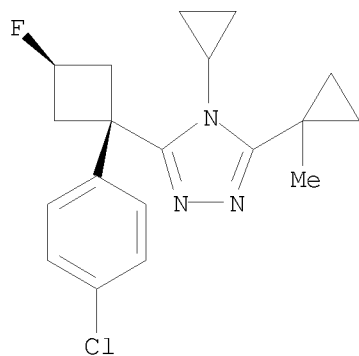
- AB Title compds. I [A = halo, alkyl, Ph, etc.; B = H, halo, alkyl, S-alkyl, etc. or A, B = taken together are (un)substituted alkylene; R1 = H, OH, halo, alkyl, alkoxy, aryl, etc.; R2 = alkyl, alkoxy, Ph, etc.; R3 = alkyl, alkenyl, thioalkoxy, aryl, heterocyclyl, etc. or R2-3 = taken together fused 5-6-membered alkyl/aryl ring] are prepared For instance, 2,2-diphenylbutanoic acid is converted to the corresponding hydrazide (DMF, Et3N, TFFH, H2NNH2, 0°, 30 min). 8-Methoxy-2,3,4,5,6,7-hexahydroazocine is then reacted with the intermediate (DMF, 120°, overnight) to give II. Example compds. exhibit IC50 < 500 nM for 11 $\beta$ -hydroxysteroid dehydrogenase-1 (11 $\beta$ -HSD1). I are useful for the treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dyslipidemia, hyperlipidemia, hypertension, Syndrome X and other symptoms associated with NIDDM.
- IT 633317-53-0P 633317-54-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of triazolyl 11 $\beta$ -hydroxysteroid dehydrogenase-1 inhibitors for treatment of diabetes, obesity and dyslipidemia)
- RN 633317-53-0 CAPLUS
- CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4,5-dicyclopentyl- (CA INDEX NAME)

Relative stereochemistry.



- RN 633317-54-1 CAPLUS
- CN 4H-1,2,4-Triazole, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-4-cyclopropyl-5-(1-methylcyclopropyl)- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS  
 RECORD (21 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 10:27:19 ON 25 JAN 2010

L1 STRUCTURE UPLOADED

D

L2 0 SEA FILE=REGISTRY SSS SAM L1

L3 8 SEA FILE=REGISTRY SSS FUL L1

L4 8 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L3 AND CAPLUS/LC

FILE 'CAPLUS' ENTERED AT 10:28:30 ON 25 JAN 2010

L5 6 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L3

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

35.36

233.11

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-5.10

-5.10

SESSION WILL BE HELD FOR 120 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 10:29:08 ON 25 JAN 2010